

## WEST Search History

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DATE: Friday, September 07, 2007

Hide?	<u>Set</u> <u>Name</u>	<u>Query</u>	<u>Hit</u> <u>Count</u>
		<i>DB=PGPB,USPT; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L6	L5 and (@AD<20030410 or @RLAD<20030410 or @PRAD<20030410)	25
<input type="checkbox"/>	L5	L4 and GABA	34
<input type="checkbox"/>	L4	L3 and benzimidazole	1055
<input type="checkbox"/>	L3	514/254.06.icls. or 514/254.06.ccls. or 514/394.icls. or 514/394.ccls. or 544/382.icls. or 544/382.ccls. or 548/306.icls. or 548.30c.ccls.	2036
<input type="checkbox"/>	L2	L1 and (benzimidazole.ti. or benzimidazole.ab.)	17
<input type="checkbox"/>	L1	neusearch.as. or neurosearch.as.	129

END OF SEARCH HISTORY

FILE 'REGISTRY' ENTERED AT 13:31:58 ON 07 SEP 2007

L1           STRUCTURE UPLOADED  
L2           6 S L1  
L3           STRUCTURE UPLOADED  
L4           1 S L3  
L5           143 S L1 SSS FULL  
L6           40 S L3 SUB=L5 FULL

FILE 'CAPLUS' ENTERED AT 13:34:07 ON 07 SEP 2007

L7           1 S L6  
L8           5 S L5

=> file registry  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 13:31:58 ON 07 SEP 2007  
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 6 SEP 2007 HIGHEST RN 946198-52-3  
DICTIONARY FILE UPDATES: 6 SEP 2007 HIGHEST RN 946198-52-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

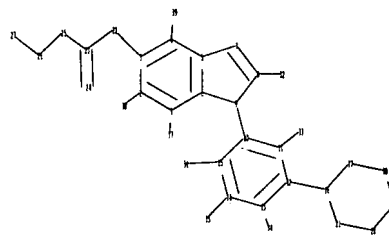
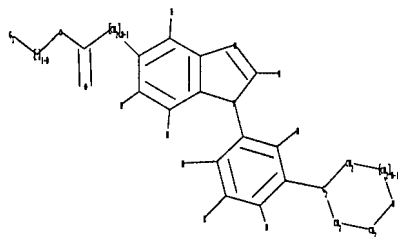
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10551821generic.str



```

chain nodes :
22 23 24 25 26 27 32 33 34 35 36 37 38 39
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21
chain bonds :
1-37 2-38 3-22 4-39 8-32 9-10 11-33 12-16 13-34 14-35 15-36 22-23 23-24
23-25 25-26 26-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 16-17 16-21 17-18 18-19 19-20 20-21
exact/norm bonds :
1-37 2-38 3-22 4-39 5-7 6-9 7-8 8-9 8-32 9-10 11-33 12-16 13-34 14-35
15-36 16-17 16-21 17-18 18-19 19-20 20-21 22-23 23-24 23-25 25-26 26-27
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

```

G1:H,O,N,Ph

G2:N,CH

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 32:CLASS 33:CLASS
34:CLASS 35:CLASS

```

36:CLASS 37:CLASS 38:CLASS 39:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 13:32:24 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 159 TO ITERATE

100.0% PROCESSED 159 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2424 TO 3936

PROJECTED ANSWERS: 6 TO 266

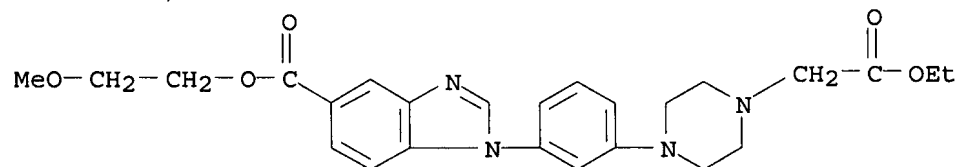
L2 6 SEA SSS SAM L1

=> d l2 scan

L2 6 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]phenyl]-, 2-methoxyethyl ester, monohydrochloride (9CI)

MF C25 H30 N4 O5 . Cl H



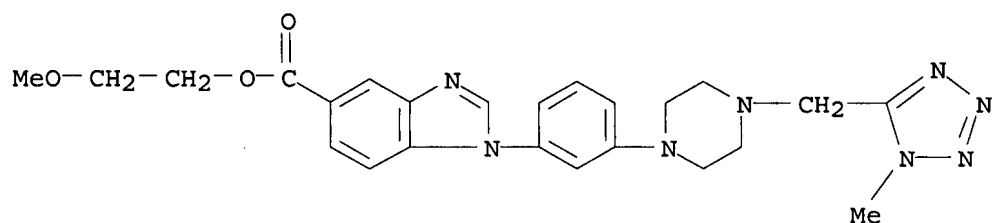
● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L2 6 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

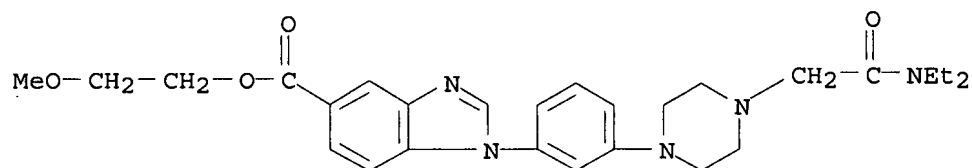
IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-[(1-methyl-1H-tetrazol-5-yl)methyl]-1-piperazinyl]phenyl]-, 2-methoxyethyl ester, monohydrochloride (9CI)

MF C24 H28 N8 O3 . Cl H



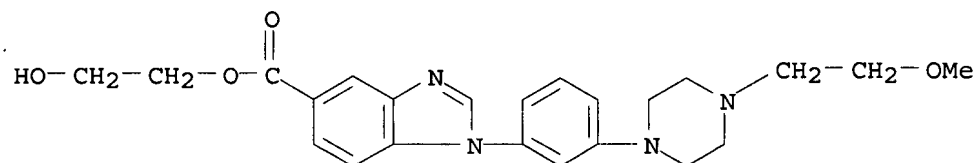
● HCl

L2 6 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
 IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-[2-(diethylamino)-2-oxoethyl]-1-piperazinyl]phenyl]-, 2-methoxyethyl ester, monohydrochloride (9CI)  
 MF C27 H35 N5 O4 . Cl H



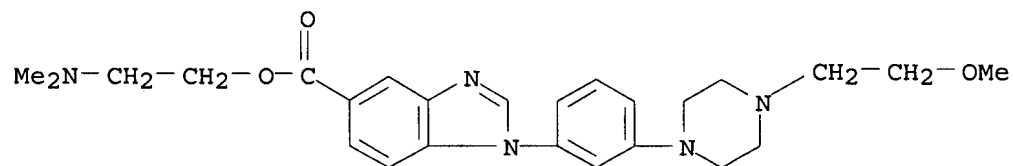
● HCl

L2 6 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
 IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]-, 2-hydroxyethyl ester, hydrochloride (9CI)  
 MF C23 H28 N4 O4 . x Cl H



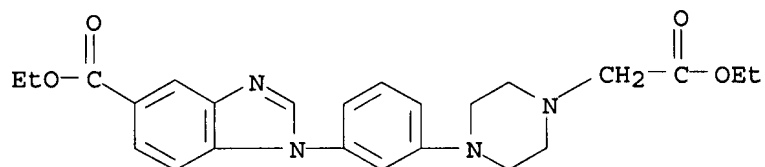
●x HCl

L2 6 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
 IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]-, 2-(dimethylamino)ethyl ester (9CI)  
 MF C25 H33 N5 O3  
 CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

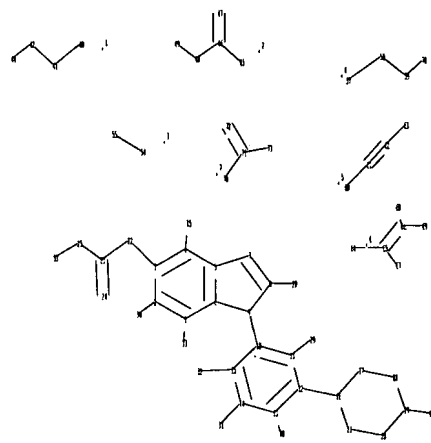
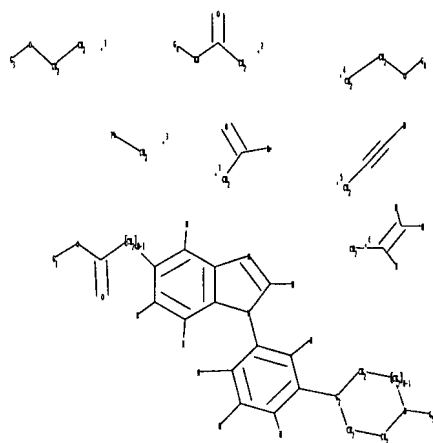
L2 6 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
 IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]phenyl]-, ethyl ester, hydrochloride (9CI)  
 MF C24 H28 N4 O4 . x Cl H



●x HCl

ALL ANSWERS HAVE BEEN SCANNED

=>  
 Uploading C:\Program Files\Stnexp\Queries\10551821specific.str



chain nodes :

22 23 24 25 28 29 30 31 32 33 34 35 40 41 42 44 45 46 47 48 49  
53 54 55 57 58 59 60 61 62 63 64 65 66 67 68 69 70 71 72 73 78  
81

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21

chain bonds :

1-33 2-34 3-22 4-35 8-28 9-10 11-29 12-16 13-30 14-31 15-32 19-81 22-23  
23-24 23-25 25-53 40-41 41-42 42-44 45-46 46-47 46-48 48-49 54-55 57-58  
58-59 59-78  
60-61 61-62 62-63 64-65 65-66 65-67 66-68 66-69 70-71 71-72 71-73

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14  
14-15 16-17 16-21 17-18 18-19 19-20 20-21

exact/norm bonds :

1-33 2-34 3-22 4-35 5-7 6-9 7-8 8-9 8-28 9-10 11-29 12-16 13-30 14-31  
15-32 16-17 16-21 17-18 18-19 19-20 19-81 20-21 22-23 23-24 23-25 25-53  
40-41 41-42  
42-44 45-46 46-47 46-48 48-49 54-55 57-58 58-59 59-78 60-61 61-62 62-63  
64-65 65-66  
65-67 66-68 66-69 70-71 71-72 71-73



normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

G1:CH3,n-Bu,i-Bu,[\*1],[\*2],[\*3]

G2:N,CH

G3:CH3,Et,H,N

G4:CH3,Et

G5:[\*4],[\*5],[\*6],[\*7]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom  
22:CLASS 23:CLASS 24:CLASS 25:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS  
32:CLASS 33:CLASS  
34:CLASS 35:CLASS 40:CLASS 41:CLASS 42:CLASS 44:CLASS 45:CLASS 46:CLASS  
47:CLASS 48:CLASS  
49:CLASS 53:CLASS 54:CLASS 55:CLASS 57:CLASS 58:CLASS 59:CLASS 60:CLASS  
61:CLASS 62:CLASS  
63:CLASS 64:CLASS 65:CLASS 66:CLASS 67:CLASS 68:CLASS 69:CLASS 70:CLASS  
71:CLASS 72:CLASS  
73:CLASS 78:CLASS 81:CLASS

L3 STRUCTURE UPLOADED

=> s l3

SAMPLE SEARCH INITIATED 13:33:12 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 133 TO ITERATE

100.0% PROCESSED 133 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1969 TO 3351

PROJECTED ANSWERS: 1 TO 80

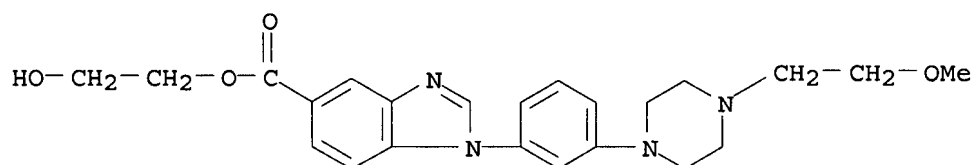
L4 1 SEA SSS SAM L3

=> d l4 scan

L4 1 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]-, 2-hydroxyethyl ester, hydrochloride (9CI)

MF C23 H28 N4 O4 . x Cl H



●x HCl

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full

FULL SEARCH INITIATED 13:33:32 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3057 TO ITERATE

100.0% PROCESSED 3057 ITERATIONS

143 ANSWERS

SEARCH TIME: 00.00.01

L5 143 SEA SSS FUL L1

=> y

Y IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

=> s l3 sub=l5

ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full

FULL SUBSET SEARCH INITIATED 13:33:52 FILE 'REGISTRY'

FULL SUBSET SCREEN SEARCH COMPLETED - 143 TO ITERATE

100.0% PROCESSED 143 ITERATIONS

40 ANSWERS

SEARCH TIME: 00.00.01

L6 40 SEA SUB=L5 SSS FUL L3

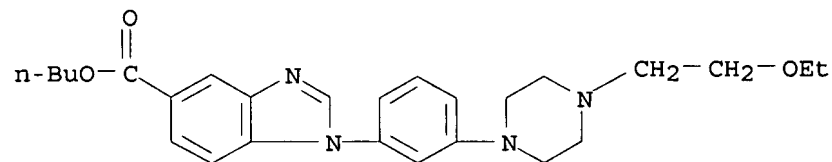
=> d l6 scan

L6 40 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxyethyl)-1-piperazinyl]phenyl]-, butyl ester (9CI)

MF C26 H34 N4 O3

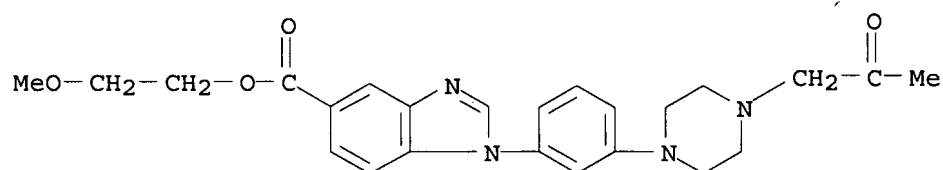
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

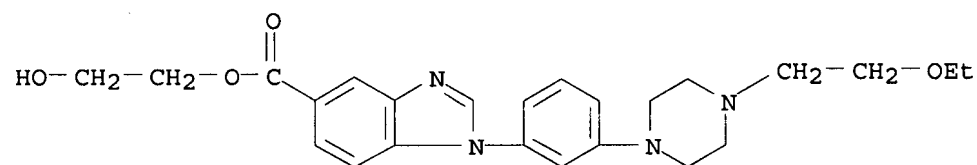
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L6 40 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-oxopropyl)-1-piperazinyl]phenyl]-, 2-methoxyethyl ester (9CI)  
MF C24 H28 N4 O4  
CI COM



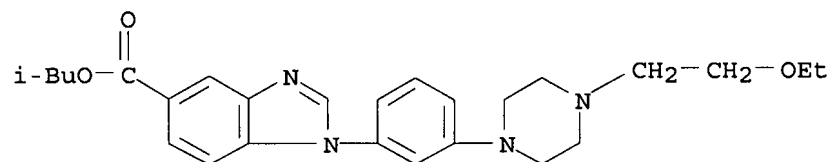
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 40 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxyethyl)-1-piperazinyl]phenyl]-, 2-hydroxyethyl ester, hydrochloride (9CI)  
MF C24 H30 N4 O4 . x Cl H



●x HCl

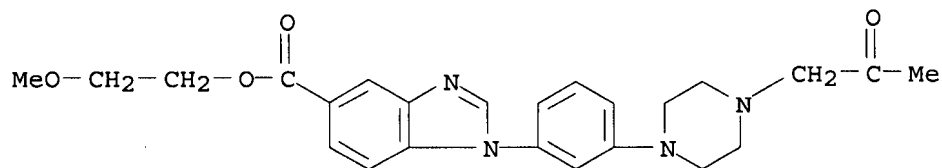
L6 40 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxyethyl)-1-piperazinyl]phenyl]-, 2-methylpropyl ester (9CI)  
MF C26 H34 N4 O3  
CI COM



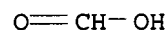
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 40 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
 IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-oxopropyl)-1-piperazinyl]phenyl]-, 2-methoxyethyl ester, formate (9CI)  
 MF C24 H28 N4 O4 . x C H2 O2

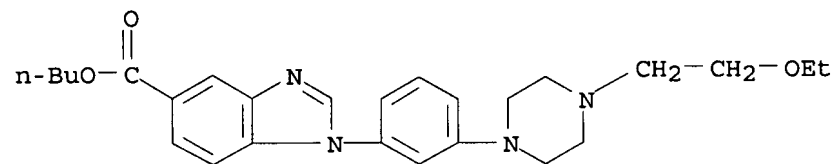
CM 1



CM 2



L6 40 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
 IN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxyethyl)-1-piperazinyl]phenyl]-, butyl ester, hydrochloride (9CI)  
 MF C26 H34 N4 O3 . x Cl H



●x HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

214.10

214.31

FILE 'CAPLUS' ENTERED AT 13:34:07 ON 07 SEP 2007

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FILE COVERS 1907 - 7 Sep 2007 VOL 147 ISS 12  
FILE LAST UPDATED: 6 Sep 2007 (20070906/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 16

L7 1 L6

=> s 15

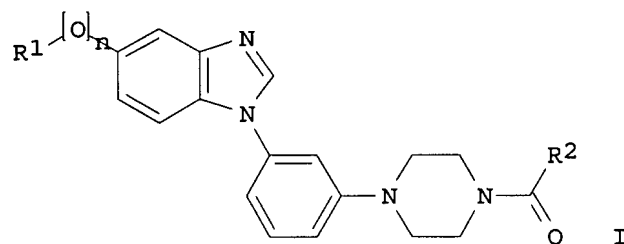
L8 5 L5

=> d 18 1-5 ti abs bib

L8 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of benzimidazole derivatives and their use for modulating the GABAA receptor complex

GI



AB The title compds. I [R1 = (un)substituted alkyl; n = 0-1; R2 = H, alkyl], useful in the treatment of central nervous system diseases and disorders which are responsive to modulation of the GABAA receptor complex, and in particular for combating anxiety and related diseases, were prepared E.g., a multi-step synthesis of I [R1 = Me; n = 0; R2 = Me], starting from 1-fluoro-3-nitrobenzene and piperazine, was given. Exemplified compds. I were tested for in vitro inhibition of 3H-flunitrazepam binding (specific data given for representative compds. I). This invention also relates to pharmaceutical compns. containing compds. I.

AN 2006:1123263 CAPLUS <<LOGINID::20070907>>

DN 145:455013

TI Preparation of benzimidazole derivatives and their use for modulating the GABAA receptor complex

IN Teuber, Lene; Larsen, Janus S.; Ahning, Philip K.; Nielsen, Elsebet Oestergaard; Mirza, Naheed

PA Neurosearch A/S, Den.

SO PCT Int. Appl., 31pp.

CODEN: PIXXD2

DT Patent

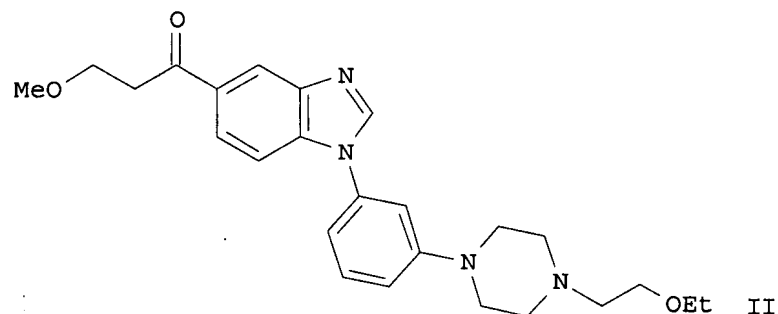
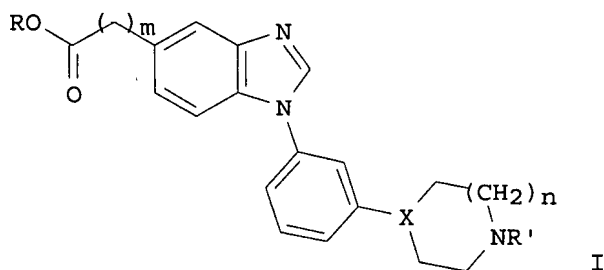
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----

PI WO 2006111517 A1 20061026 WO 2006-EP61621 20060418  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
PRAI DK 2005-566 A 20050419  
US 2005-672878P P 20050420  
OS MARPAT 145:455013  
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN  
TI Preparation of benzimidazole modulators of GABAA receptor complex  
GI



AB Title compds. represented by the formula I [wherein R = (alkoxy)alkyl, hydroxyalkyl, aminoalkyl, etc.; R' = alkoxyalkyl, alkoxyalkenyl, alkoxyalkynyl, etc.; X = N or CH; m = 0-1; n = 1-2; or N-oxides, or pharmaceutically acceptable salts thereof] were prepared as inhibitors of 3H-flunitrazepam (3H-FNM), which binds selectively and with high-affinity to the GABAA receptor-ion channel complex. For example, II was given in a multi-step synthesis starting from 4-chloro-3-nitrobenzoic acid. I were tested for inhibition of 3H-FNM binding with ED50 values of 25-75%. Thus, I and their pharmaceutical compns. are useful for as modulators of GABAA receptor complex for the treatment of treatment of central nervous system diseases and disorders, which are responsive to modulation of the GABAA receptor complex, and in particular for inducing and maintaining anesthesia, sedation and muscle relaxation, as well as for combating

febrile convulsions in children, as well as veterinarians.  
AN 2004:878379 CAPLUS <<LOGINID::20070907>>  
DN 141:366229  
TI Preparation of benzimidazole modulators of GABAA receptor complex  
IN Larsen, Janus S.; Teuber, Lene  
PA Neurosearch A/S, Den.  
SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089912	A1	20041021	WO 2004-EP50427	20040402
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	EP 1615896	A1	20060118	EP 2004-725384	20040402
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
	JP 2006522773	T	20061005	JP 2006-505515	20040402
	US 2006241101	A1	20061026	US 2005-551821	20050930
PRAI	DK 2003-557	A	20030410		
	US 2003-461794P	P	20030411		
	WO 2004-EP50427	W	20040402		

OS MARPAT 141:366229

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN  
TI Preparation of 1-phenyl-5-benzimidazolecarboxylates for the treatment of GABAA mediated disorders  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = H or Me; R3 = CO2R4 or CONR4R5; R4 and R5 = Me or Et; X = N or CH; n = 1 or 2; with 6 specific exclusions; or pharmaceutically acceptable salts thereof] were prepared as GABAA agonists. For example, cycloaddn. of 2-hydroxyethyl 3-amino-4-(3-(1-(ethoxycarbonylmethyl)piperidin-4-yl)phenylamino)benzoate (preparation given) and tri-Et orthoformate in THF at the presence of a catalytic amount of p-TsOH gave II•HCl in 58% yield after precipitation by addition of ethereal

HCl.

The compds. I are useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of the GABAA receptor complex, and in particular for inducing and maintaining anesthesia, sedation and muscle relaxation, as well as for combating febrile convulsions in children (no data). Preferred compds. of the invention exhibit reduced anesthetic side effects. I may also be used by veterinarians.

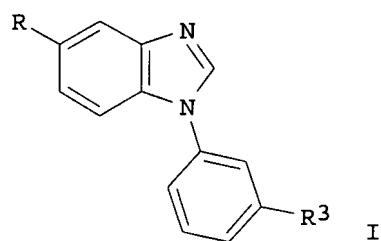
AN 2002:487549 CAPLUS <<LOGINID::20070907>>  
DN 137:47199

TI Preparation of 1-phenyl-5-benzimidazolecarboxylates for the treatment of  
 GABAA mediated disorders  
 IN Teuber, Lene; Waetjen, Frank  
 PA Neurosearch A/S, Den.  
 SO PCT Int. Appl., 36 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002050057	A1	20020627	WO 2001-DK823	20011212
	WO 2002050057	A8	20021024		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002021566 A5 20020701 AU 2002-21566 20011212 PRAI DK 2000-1914 A 20001220 WO 2001-DK823 W 20011212				
OS	MARPAT 137:47199				

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN  
 TI Preparation of arylbenzimidazolecarboxylates as GABAA receptor complex  
 modulators.  
 GI



AB Title compds. [I; R = AqR1; A = alkylene, alkenylene, alkynylene; q = 0,  
 1; R1 = CO2R2, C(:XR13)R12, (substituted) heterocyclyl; R2 = H, alkyl,  
 hdyroxyalkyl, alkoxyalkyl, thioalkoxyalkyl, heterocyclylalkyl, aminoalkyl;  
 X = N, CH; R12 = H, alkyl, alkoxy, hydroxyalkyl; R13 = H, OH, alkyl,  
 alkoxy, hydroxyalkyl; R3 = (substituted) heterocyclyl, heterocyclylalkyl,  
 (esterified) carboxy, carboxyalkyl], were prepared Thus, 2-methoxyethyl  
 3-amino-4-[3-[1-(ethoxycarbonylmethyl)-4-piperazinylmethyl]phenylamino]ben  
 zoate (preparation given) was refluxed with (EtO)3CH and p-TsOH in THF to give  
 64% 2-methoxyethyl 1-[3-[4-(ethoxycarbonyl)-1-  
 piperazinylmethyl]phenyl]benzimidazole-5-carboxylate. I inhibited 3H-FNM  
 binding to GABAA receptors with IC50 = 0.0006-0.26 µM.  
 AN 2000:911227 CAPLUS <<LOGINID::20070907>>  
 DN 134:56672  
 TI Preparation of arylbenzimidazolecarboxylates as GABAA receptor complex



modulators.

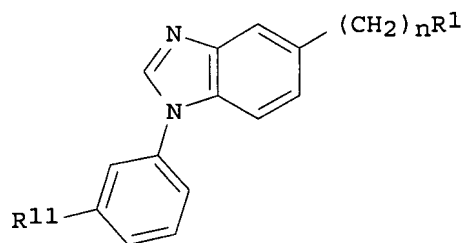
IN Teuber, Lene; Watjen, Frank  
PA Neurosearch A/S, Den.  
SO PCT Int. Appl., 107 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000078728	A1	20001228	WO 2000-DK333	20000622
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2373921	A1	20001228	CA 2000-2373921	20000622
	BR 2000011823	A	20020319	BR 2000-11823	20000622
	EP 1194410	A1	20020410	EP 2000-938584	20000622
	EP 1194410	B1	20060118		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, CY, PT, SE				
	JP 2003502405	T	20030121	JP 2001-504895	20000622
	NZ 515543	A	20031128	NZ 2000-515543	20000622
	AU 777961	B2	20041104	AU 2000-53910	20000622
	RU 2243226	C2	20041227	RU 2001-131104	20000622
	AT 316076	T	20060215	AT 2000-938584	20000622
	US 2003055055	A1	20030320	US 2001-12490	20011212
	US 6649609	B2	20031118		
	MX 2002PA00107	A	20020702	MX 2002-PA107	20011219
	US 2004097570	A1	20040520	US 2003-618727	20030715
	US 6936613	B2	20050830		
PRAI	DK 1999-888	A	19990622		
	WO 2000-DK333	W	20000622		
	US 2001-12490	A3	20011212		

OS MARPAT 134:56672

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN  
TI Preparation of 1-phenylbenzimidazole compounds and their use as GABAA  
receptor modulators  
GI



I

AB Benzimidazoles I [n = 0, 1, 2, 3; R1 = alkyl, Ph group, monocyclic heterocyclic group, which groups may be substituted one or more times with

substituents selected from alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, halogen, trifluoromethyl, cyano, amino, nitro; or R1 = cyano group or a group of the formula -alkyl-CO2R2, alkenyl-CO2R2, -COR2, -CO2(CH2)mR2, -C(R3):NOR2; R11 -CO2R9, etc.], useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of the GABAA receptor complex, such as for example anxiety, sleep disorders, anesthesia, memory disorders, and epilepsy or other convulsive disorders, were prepared E.g., reaction of iso-Pr 4-chloro-3-nitrobenzoate with 3-piperidinoaniline gave 54% iso-Pr 4-(3-piperidinoanilino)-3-nitrobenzoate. The latter was hydrogenated and the resulting diamine treated with formic acid to give 5-(isopropoxycarbonyl)-1-(3-piperidinophenyl)benzimidazole.

AN 1998:268492 CAPLUS <<LOGINID::20070907>>

DN 128:321644

TI Preparation of 1-phenylbenzimidazole compounds and their use as GABAA receptor modulators

IN Teuber, Lene; Watjen, Frank

PA Neurosearch A/S, Den.; Teuber, Lene; Watjen, Frank

SO PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9817651	A1	19980430	WO 1997-DK462	19971021
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2267114	A1	19980430	CA 1997-2267114	19971021
	AU 9746161	A	19980515	AU 1997-46161	19971021
	AU 726447	B2	20001109		
	EP 934281	A1	19990811	EP 1997-944749	19971021
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN 1234025	A	19991103	CN 1997-198988	19971021
	CN 1115336	B	20030723		
	NZ 334868	A	20010223	NZ 1997-334868	19971021
	JP 2001502675	T	20010227	JP 1998-518852	19971021
	RU 2194699	C2	20021220	RU 1999-110508	19971021
	US 6218547	B1	20010417	US 1999-269643	19990331
	US 6503925	B1	20030107	US 2001-768506	20010125
	US 2003166638	A1	20030904	US 2002-299854	20021120
	US 6710044	B2	20040323		
PRAI	DK 1996-1157	A	19961021		
	WO 1997-DK462	W	19971021		
	US 1999-269643	A3	19990331		
	US 2001-768506	A3	20010125		

OS MARPAT 128:321644

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD